

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	498	loteprednol	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:12
L2	295	"loteprednol etabonate"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:12
L3	498	L1 or L2	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:13
L4	5119	salbutamol or reproterol or salmeterol or formoterol	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:13
L5	116	L3 and L4	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:13

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FILE 'HOME' ENTERED AT 13:20:49 ON 20 APR 2007

=> file caplus embase biosis medline

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FULL ESTIMATED COST

SINCE FILE

TOTAL

ENTRY

SESSION

1.05

1.05

FILE 'CAPLUS' ENTERED AT 13:23:34 ON 20 APR 2007

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FILE 'BIOSIS' ENTERED AT 13:23:34 ON 20 APR 2007

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FILE 'MEDLINE' ENTERED AT 13:23:34 ON 20 APR 2007

=> s loteprednol

L1 541 LOTEPRDNOL

=> s asthma

L2 297883 ASTHMA

=> s L1 and L2

L3 52 L1 AND L2

=> dup rem L3

PROCESSING COMPLETED FOR L3

L4 32 DUP REM L3 (20 DUPLICATES REMOVED)

=> s L4 and (AY<2002 or PY<2002 or PRY<2002)

'2002' NOT A VALID FIELD CODE

L5 6 L4 AND (AY<2002 OR PY<2002 OR PRY<2002)

=> d 1-6 L5 ibib abs

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:832575 CAPLUS

DOCUMENT NUMBER: 137:346196

TITLE: Treatment of respiratory and lung diseases with antisense oligonucleotides and a bronchodilating agent

INVENTOR(S): Nyce, Jonathan W.; Li, Yukui; Sandrasagra, Anthony; Katz, Evan; Pabalan, Jonathan; Aguilar, Douglas;

Miller, Shoreh; Tang, Lei; Shahabuddin, Syed

PATENT ASSIGNEE(S): Epigenesis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 872 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085308	A2	20021031	WO 2002-US13135	20020423 <--
WO 2002085308	A3	20021219		
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US 2004049022 A1 20040311 US 2003-627930 20030725	US 2007021360 A1 20070125 US 2004-475684 20040831 <--	US 2001-286137P P 20010424 <--
PRIORITY APPLN. INFO.:		WO 2002-US13135 A 20020423
		WO 2002-US13143 A2 20020423

OTHER SOURCE(S): MARPAT 137:346196

AB This patent relates to a composition comprising a carrier, oligonucleotides (oligos) that are antisense to adenosine receptors, and contain low amts. of or no adenosine (A), plus bronchodilating agents. All antisense oligonucleotides designed in accordance with the invention were highly effective at countering or reducing effects mediated by the receptors to which they are targeted. Two antisense phosphorothioated oligos targeting human adenosine A1 receptor mRNA, one targeting adenosine A2b receptor, and two targeting an A3 receptor are capable of countering the effect of exogenously administered adenosine which is mediated by the specific receptor they are targeted to. The activity of the antisense oligos are specific to the target and substitutively fail to inhibit another target. An oligonucleotide wherein the phosphodiester bonds are substituted with phosphorothioate bonds evidenced an unexpected superiority over the phosphodiester antisense oligo. In addition, they result in extremely low or non-existent deleterious side effects or toxicity. This represents 100% success in providing agents that are highly effective and specific in the treatment of bronchoconstriction and/or inflammation. Treatment with antisense oligonucleotides in combination with anti-inflammatory steroid and/or ubiquinones is also provided. These agents and the composition and formulations provided are suitable for the treatment of respiratory tract,

pulmonary and malignant diseases associated with bronchoconstriction, respiratory tract inflammation and allergies, impaired airways, including lung disease and diseases whose secondary effects afflict the lungs of a subject, such as allergies, asthma, impeded respiration, allergic rhinitis, pain, cystic fibrosis, pulmonary fibrosis, RDA, COPD, and cancers, among others. The present agents and composition may be administered preventatively, prophylactically or therapeutically in conjunction with other therapies, or may be utilized as a substitute for therapies that have significant, neg. side effects. The method of the present invention is also practiced with antisense oligonucleotides targeted to many genes, mRNAs and their corresponding proteins in essential the same manner.

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:414694 CAPLUS

DOCUMENT NUMBER: 133:261550

TITLE: Loteprednol etabonate: a soft steroid for

the treatment of allergic diseases of the airways

AUTHOR(S): Szelenyi, Istvan; Hochhaus, Gunther; Heer, Sabine; Kusters, Sabine; Marx, Degenhard; Poppe, Hildegard; Engel, Jurgen

CORPORATE SOURCE: Pulmonary Pharmacology, Corporate Research & Development, ASTA Medica, Frankfurt and Dresden, Germany

SOURCE: Drugs of Today (2000), 36(5), 313-320  
CODEN: MDACAP; ISSN: 0025-7656

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 58 refs. There are several approaches for developing new antiallergic/antiasthmatic agents. One of them is the improvement of an existing class of effective drug classes. Due to some undesired effects of intranasal or inhaled corticosteroids, there is a need for better tolerated corticosteroids. Loteprednol etabonate belongs to the so-called class of soft steroids because it is metabolized by a 1-step reaction (hydrolysis) without using the cytochrome P 450 monooxygenase system. In in vitro investigations in human cells, loteprednol inhibited the release of proinflammatory cytokines (e.g., TNF- $\alpha$ , GM-CSF, IL-4, IL-5) to an extent according to its relative binding potency to the glucocorticoid receptor. In in vivo animal studies, loteprednol effectively inhibited allergically induced vascular leakage in the nasal cavity of actively sensitized Brown Norway rats and rhinorrhea in actively sensitized domestic pigs following nasal challenge. In several models of allergic asthma, loteprednol was able to suppress the allergically induced late-phase eosinophilia in mice, rats and guinea pigs. After intrapulmonary administration of loteprednol, only a slight, nonsignificant reduction in thymus weight was observed in a dose range far less than the therapeutically relevant doses. Its therapeutic ratio is clearly superior to those of beclomethasone and budesonide. Loteprednol is a safe steroid with an extremely wide range between therapeutic and side-effect-inducing doses. Its elimination profile, its pronounced binding to plasma protein and erythrocytes and its low oral bioavailability makes this drug highly suitable for nasal or pulmonary use.

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 1999314468 EMBASE

TITLE: Therapeutic potential of phosphodiesterase 4 inhibitors in allergic diseases.

AUTHOR: Crocker I.C.; Townley R.G.

CORPORATE SOURCE: Dr. R.G. Townley, Dept. of Medicine/Allergy Division,

SOURCE: Creighton University, 2500 California Plaza, Omaha, NE  
68178, United States  
Drugs of Today, (1999) Vol. 35, No. 7, pp. 519-535. .  
Refs: 137  
ISSN: 0025-7656 CODEN: MDACAP

COUNTRY: Spain  
DOCUMENT TYPE: Journal; General Review  
FILE SEGMENT: 026 Immunology, Serology and Transplantation  
030 Pharmacology  
037 Drug Literature Index

LANGUAGE: English  
SUMMARY LANGUAGE: English  
ENTRY DATE: Entered STN: 27 Sep 1999  
Last Updated on STN: 27 Sep 1999

AB Cyclic adenosine monophosphate (cAMP) is thought to be associated with inflammatory cell activity: high levels tend to decrease proliferation and cytokine secretion, whereas low concentrations have the opposite effect (1). Since many phosphodiesterases (PDEs) degrade cAMP, inhibitors of this enzyme decrease inflammatory cell activity. Theophylline, which has nonselective PDE inhibitor activity in addition to its other mechanisms of action, has been used in the treatment of asthma for many years. Unfortunately, because of the important role of PDEs in the cell, nonspecific inhibition of these enzymes causes many undesirable side effects. The discovery of PDE isoenzyme families (PDE1-PDE10), their subtypes (HPDE4 and LPDE4) and their differential distribution among the cell types, as well as their specific functions in controlling cell processes, has led to the development of new, specific PDE4 inhibitors. This review details the rationale for the use of PDE4 inhibitors in the treatment of allergic disease. In addition, the effects of PDE4 inhibitors *in vitro*, in preclinical animal models and in the clinic are covered. Finally, up-to-date information on the most recently developed inhibitors, such as SB-207499, CDP-840, AWD-12-281 and D-4418, is provided.

L5 ANSWER 4 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 1999273042 EMBASE  
TITLE: The ideal steroid.  
AUTHOR: Brattsand R.  
CORPORATE SOURCE: R. Brattsand, Astra Draco AB, Preclinical R and D, PO Box 34, S-221 00 Lund, Sweden  
SOURCE: Pulmonary Pharmacology and Therapeutics, (1999) Vol. 12, No. 2, pp. 119-122. .  
Refs: 19  
ISSN: 1094-5539 CODEN: PPTHFJ

COUNTRY: United Kingdom  
DOCUMENT TYPE: Journal; Conference Article  
FILE SEGMENT: 015 Chest Diseases, Thoracic Surgery and Tuberculosis  
030 Pharmacology  
037 Drug Literature Index

LANGUAGE: English  
ENTRY DATE: Entered STN: 19 Aug 1999  
Last Updated on STN: 19 Aug 1999

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L5 ANSWER 5 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 1999218575 EMBASE  
TITLE: Allergies: New treatment options and studies.  
AUTHOR: Evans Y.  
CORPORATE SOURCE: Y. Evans, Univ. of Mississippi Hosp./Clinics, Jackson, MS, United States  
SOURCE: Drug Topics, (7 Jun 1999) Vol. 143, No. 11 SUPPL., pp. 10s-15s. .

ISSN: 0012-6616 CODEN: DGTNA7  
COUNTRY: United States  
DOCUMENT TYPE: Journal; General Review  
FILE SEGMENT: 006 Internal Medicine  
015 Chest Diseases, Thoracic Surgery and Tuberculosis  
026 Immunology, Serology and Transplantation  
037 Drug Literature Index  
038 Adverse Reactions Titles

LANGUAGE: English  
SUMMARY LANGUAGE: English  
ENTRY DATE: Entered STN: 8 Jul 1999  
Last Updated on STN: 8 Jul 1999

AB For years, antihistamines, decongestants, and corticosteroids have been the mainstay in treating allergic disorders. Today, the pharmacotherapy options are expanding, and more clinical trials are being conducted to determine the best treatments for the various allergic disorders. When chronic diseases, such as allergic disorders, affect one in five North Americans, it is important that pharmacists stay abreast of the treatment options that are available and under investigation.

L5 ANSWER 6 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 1999056606 EMBASE  
TITLE: New molecular entities approved in 1998.  
SOURCE: Drug Topics, (1 Feb 1999) Vol. 143, No. 3, pp. 60-71. .  
ISSN: 0012-6616 CODEN: DGTNA7

COUNTRY: United States  
DOCUMENT TYPE: Journal; Note  
FILE SEGMENT: 037 Drug Literature Index  
038 Adverse Reactions Titles

LANGUAGE: English  
ENTRY DATE: Entered STN: 19 Mar 1999  
Last Updated on STN: 19 Mar 1999

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

=> s salbutamol or reproterol or salmeterol or formoterol

L6 43391 SALBUTAMOL OR REPROTEROL OR SALMETEROL OR FORMOTEROL

=> s L1 and L6

L7 22 L1 AND L6

=> dup rem L7

PROCESSING COMPLETED FOR L7

L8 22 DUP REM L7 (0 DUPLICATES REMOVED)

=> s L8 and (AY<2002 or PY<2002 or PRY<2002)

'2002' NOT A VALID FIELD CODE  
'2002' NOT A VALID FIELD CODE

L9 9 L8 AND (AY<2002 OR PY<2002 OR PRY<2002)

=> d 1-9 ibib abs L9

L9 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:832575 CAPLUS  
DOCUMENT NUMBER: 137:346196  
TITLE: Treatment of respiratory and lung diseases with  
antisense oligonucleotides and a bronchodilating agent  
INVENTOR(S): Nyce, Jonathan W.; Li, Yukui; Sandrasagra, Anthony;  
Katz, Evan; Pabalan, Jonathan; Aguilar, Douglas;

PATENT ASSIGNEE(S): Miller, Shoreh; Tang, Lei; Shahabuddin, Syed  
 SOURCE: Epigenesis Pharmaceuticals, Inc., USA  
 PCT Int. Appl., 872 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085308	A2	20021031	WO 2002-US13135	20020423 <--
WO 2002085308	A3	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2002256359	A1	20021105	AU 2002-256359	20020423 <--
US 2004049022	A1	20040311	US 2003-627930	20030725
US 2007021360	A1	20070125	US 2004-475684	20040831 <--
PRIORITY APPLN. INFO.: US 2001-286137P P 20010424 <-- WO 2002-US13135 A 20020423 WO 2002-US13143 A2 20020423				

OTHER SOURCE(S): MARPAT 137:346196

AB This patent relates to a composition comprising a carrier, oligonucleotides (oligos) that are antisense to adenosine receptors, and contain low amts. of or no adenosine (A), plus bronchodilating agents. All antisense oligonucleotides designed in accordance with the invention were highly effective at countering or reducing effects mediated by the receptors to

which they are targeted. Two antisense phosphorothioated oligos targeting human adenosine A1 receptor mRNA, one targeting adenosine A2b receptor, and two targeting an A3 receptor are capable of countering the effect of exogenously administered adenosine which is mediated by the specific receptor they are targeted to. The activity of the antisense oligos are specific to the target and substitutively fail to inhibit another target. An oligonucleotide wherein the phosphodiester bonds are substituted with phosphorothioate bonds evidenced an unexpected superiority over the phosphodiester antisense oligo. In addition, they result in extremely low or non-existent deleterious side effects or toxicity. This represents 100% success in providing agents that are highly effective and specific in the treatment of bronchoconstriction and/or inflammation. Treatment with antisense oligonucleotides in combination with anti-inflammatory steroid and/or ubiquinones is also provided. These agents and the composition and formulations provided are suitable for the treatment of respiratory tract, pulmonary and malignant diseases associated with bronchoconstriction, respiratory tract inflammation and allergies, impaired airways, including lung disease and diseases whose secondary effects afflict the lungs of a subject, such as allergies, asthma, impeded respiration, allergic rhinitis, pain, cystic fibrosis, pulmonary fibrosis, RDA, COPD, and cancers, among others. The present agents and composition may be administered preventatively, prophylactically or therapeutically in conjunction with other therapies, or may be utilized as a substitute for therapies that have significant, neg. side effects. The method of the present invention is also practiced with antisense oligonucleotides targeted to many genes, mRNAs and their corresponding proteins in essential the same manner.

L9 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:777694 CAPLUS  
 DOCUMENT NUMBER: 137:284361  
 TITLE: Drug delivery aerosols containing hydrofluoroalkanes and solid excipients  
 INVENTOR(S): Mueller-Walz, Rudi; Niederlaender, Carsten  
 PATENT ASSIGNEE(S): Jago Research A.-G., Switz.  
 SOURCE: PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002078671	A1	20021010	WO 2002-CH145	20020311 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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EP 1372608	A1	20040102	EP 2002-701145	20020311 <--
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NZ 528640	A	20040625	NZ 2002-528640	20020311 <--
JP 2004525148	T	20040819	JP 2002-576937	20020311 <--
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IN 2003KN01142	A	20051014	IN 2003-KN1142	20030909 <--
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NO 2003004323	A	20030926	NO 2003-4323	20030926 <--
US 2004101483	A1	20040527	US 2003-473874	20030930 <--
PRIORITY APPLN. INFO.:			CH 2001-601	A 20010330 <--
			CH 2001-1527	A 20010820 <--
			WO 2002-CH145	W 20020311

OTHER SOURCE(S): MARPAT 137:284361

AB The invention concerns drug delivery systems in form of aerosols that contain the active substance, the palmitates and stearates of calcium, magnesium and zinc as solid excipients, and hydrofluoroalkanes. Thus 24.96 g micronized budesonide and 3.12 g magnesium stearate were weighed in to a pressure vessel and filled with 7.8 kg HFA 134a. After homogenization the suspension was filled into aluminum inhalers.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:293418 CAPLUS  
 DOCUMENT NUMBER: 136:330549  
 TITLE: Topical antibiotic composition for treatment of eye infection  
 INVENTOR(S): Bandyopadhyay, Rebanta; Secrest, Pamela J.; Hawley, Leslie C.; McCurdy, Vincent E.; Tyle, Praveen; Bandyopadhyay, Paramita; Singh, Satish K.  
 PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030395	A1	20020418	WO 2001-US31590	20011010 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2424444	A1	20020418	CA 2001-2424444	20011010 <--
AU 200196753	A	20020422	AU 2001-96753	20011010 <--
EP 1324748	A1	20030709	EP 2001-977651	20011010 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510809	T	20040408	JP 2002-533838	20011010 <--
PRIORITY APPLN. INFO.:			US 2000-239136P	P 20001010 <--
			US 2001-285340P	P 20010420 <--
			WO 2001-US31590	W 20011010 <--

OTHER SOURCE(S): MARPAT 136:330549

AB There is provided a pharmaceutical composition suitable for topical administration to an eye, the composition comprising as active agent one or more oxazolidinone antibacterial drugs, for example linezolid, in a concentration effective for treatment and/or prophylaxis of a gram-pos. bacterial infection of the eye, and one or more ophthalmically acceptable excipient ingredients that reduce rate of removal of the composition from the eye by lacrimation such that the composition has an effective residence time in the eye of about 2 to about 24 h. The composition is, for example, an in situ

gellable solution, suspension or solution/suspension. Formulations containing

a gelling or mucoadhesive agent (xanthan gum, HPMC, poloxamer 407, and polycarbophil) resulted in significant amts. of linezolid being retained in the exterior of treated eyes 1 h or more after application.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:71873 CAPLUS

DOCUMENT NUMBER: 136:123671

TITLE: Ophthalmic formulation of a selective cyclooxygenase-2 inhibitory drug

INVENTOR(S): Kararli, Tugrul T.; Bandyopadhyay, Rebanta; Singh, Satish K.; Hawley, Leslie C.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005815	A1	20020124	WO 2001-US22061	20010712 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2414780	A1	20020124	CA 2001-2414780	20010712 <--
AU 200175908	A	20020130	AU 2001-75908	20010712 <--
US 2002035264	A1	20020321	US 2001-904098	20010712 <--
EP 1303271	A1	20030423	EP 2001-953462	20010712 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004528267	T	20040916	JP 2002-511747	20010712 <--
ZA 2003009298	A	20040512	ZA 2003-9298	20031128 <--
PRIORITY APPLN. INFO.:			US 2000-218101P	P 20000713 <--
			US 2001-279285P	P 20010328 <--
			US 2001-294838P	P 20010531 <--
			US 2001-296388P	P 20010606 <--
			WO 2001-US22061	W 20010712 <--

OTHER SOURCE(S): MARPAT 136:123671

AB A pharmaceutical composition suitable for topical administration to an eye contains a selective COX-2 inhibitor or nanoparticles of a drug of low water solubility, at a concentration effective for the treatment and/or prophylaxis of

a disorder in the eye, and 1 or more ophthalmically acceptable excipients that reduce rate of removal from the eye such that the composition has an effective residence time of 2-24 h. Also provided is a method of treating and/or preventing a disorder in an eye, the method comprising administering to the eye a composition of the invention. Thus, an ophthalmic nanoparticle suspension contained valdecoxib at 2.15 mg/g, 1.2% glycerin, 0.8% EDTA disodium salt, 4.0% Gelcarin GP-379NF, 0.21% SeaSpen PF and 0.82% Povidone.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2001:247172 CAPLUS  
 DOCUMENT NUMBER: 134:256899  
 TITLE: Combination of loteprednol and  
       β2-adrenoceptor agonists for the treatment of  
       allergies and respiratory tract diseases  
 INVENTOR(S): Szelenyi, Istvan; Poppe, Hildegard; Heer, Sabine;  
               Engel, Juergen  
 PATENT ASSIGNEE(S): Asta Medica Ag, Germany  
 SOURCE: PCT Int. Appl., 16 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022956	A2	20010405	WO 2000-EP9392	20000926 <--
WO 2001022956	A3	20011011		
W: AU, BG, BR, BY, CA, CN, CZ, DZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, YU, ZA, AM, AZ, MD, TJ, TM RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19947235	A1	20010405	DE 1999-19947235	19990930 <--
CA 2389111	A1	20010405	CA 2000-2389111	20000926 <--
AU 200079074	A	20010430	AU 2000-79074	20000926 <--
BR 2000014374	A	20020625	BR 2000-14374	20000926 <--
EP 1216047	A2	20020626	EP 2000-969304	20000926 <--
EP 1216047	B1	20051012		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
HU 200202753	A2	20021228	HU 2002-2753	20000926 <--
JP 2003510276	T	20030318	JP 2001-526168	20000926 <--
EE 200200163	A	20030415	EE 2002-163	20000926 <--
AT 306271	T	20051015	AT 2000-969304	20000926 <--
CZ 296396	B6	20060315	CZ 2002-1095	20000926 <--
ES 2248131	T3	20060316	ES 2000-969304	20000926 <--
TW 253930	B	20060501	TW 2000-89119863	20000926 <--
PRIORITY APPLN. INFO.:			DE 1999-19947235	A 19990930 <--
			WO 2000-EP9392	W 20000926 <--

AB The invention relates to a novel combination of a soft steroid, especially loteprednol, and at least one β2-adrenoceptor agonist for treating allergies and/or respiratory tract diseases simultaneously, sequentially or sep.; to drugs containing said combination, to methods for producing such drugs and to the use of the novel combination for producing drugs for the simultaneous, sequential or sep. treatment of allergies and/or respiratory tract diseases. Thus an aerosol was prepared that contained 6 µg formoterol fumarate dihydrate and 200 µg loteprednol per stroke. 2H-heptafluoropropane (1.000 g) propellant was cooled to -55°C and 11.7 g Tagat TO in 11.7 g ethanol was added under stirring, followed by the addition of 3.34 g micronized loteprednol etabonate and 0.1 g formoterol fumarate dihydrate. The suspension was diluted with 1,170.0 g 2H-heptafluoropropane, filled in metal containers with valves for dosing 50 µL suspension per stroke.

L9 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2000:351357 CAPLUS  
 DOCUMENT NUMBER: 133:9107  
 TITLE: Dry powder for inhalation  
 INVENTOR(S): Keller, Manfred; Mueller-Walz, Rudi  
 PATENT ASSIGNEE(S): Skypharma A.-G., Switz.

SOURCE: PCT Int. Appl., 44 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000028979	A1	20000525	WO 1999-CH528	19991110 <--
W: AU, CA, CN, CZ, HU, IN, JP, NO, NZ, PL, RO, RU, SK, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2347856	A1	20000525	CA 1999-2347856	19991110 <--
AU 9964578	A	20000605	AU 1999-64578	19991110 <--
AU 756852	B2	20030123		
EP 1131059	A1	20010912	EP 1999-952212	19991110 <--
EP 1131059	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
HU 200104226	A2	20020228	HU 2001-4226	19991110 <--
JP 2002529498	T	20020910	JP 2000-582027	19991110 <--
NZ 511527	A	20021025	NZ 1999-511527	19991110 <--
EP 1283036	A1	20030212	EP 2002-25796	19991110 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 233550	T	20030315	AT 1999-952212	19991110 <--
PT 1131059	T	20030731	PT 1999-952212	19991110 <--
ES 2192866	T3	20031016	ES 1999-952212	19991110 <--
RU 2221552	C2	20040120	RU 2001-116074	19991110 <--
SK 284889	B6	20060202	SK 2001-632	19991110 <--
IN 2001KN00479	A	20060324	IN 2001-KN479	20010501 <--
ZA 2001003627	A	20010509	ZA 2001-3627	20010504 <--
NO 2001002346	A	20010626	NO 2001-2346	20010511 <--
US 6645466	B1	20031111	US 2001-831011	20010809 <--
US 2004202616	A1	20041014	US 2003-628965	20030728 <--
US 7186401	B2	20070306		

PRIORITY APPLN. INFO.: CH 1998-2286 A 19981113 <--  
 EP 1999-952212 A3 19991110 <--  
 WO 1999-CH528 W 19991110 <--  
 US 2001-831011 A1 20010809 <--

AB The moisture resistance of dry powder formulations for inhalation, which contain a pharmaceutically inert carrier of noninhalable particle size and a finely divided pharmaceutical substance of inhalable particle size, is improved and the storage stability of the formulations is increased by adding Mg stearate to minimize the deleterious effect of moisture on fine particle dose and fine particle fraction even under relatively extreme temperature and humidity conditions. Thus, 198.46 g lactose-H<sub>2</sub>O (particle size 100% <200  $\mu$ m, 50% <125  $\mu$ m, 10% <75  $\mu$ m) was mixed with 1 g sieved Mg stearate, then with 0.54 g formoterol fumarate-2H<sub>2</sub>O, and loaded into a multidose dry powder inhaler.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2000:116874 CAPLUS  
 DOCUMENT NUMBER: 132:156861  
 TITLE: Medicinal aerosol formulations  
 INVENTOR(S): Keller, Manfred; Herzog, Kurt; Mueller-Walz, Rudi; Kraus, Holger  
 PATENT ASSIGNEE(S): Jago Research A.-G., Switz.  
 SOURCE: PCT Int. Appl., 36 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent

LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007567	A1	20000217	WO 1999-CH360	19990802 <--
W: AU, CA, CN, IN, JP, NO, NZ, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2338680	A1	20000217	CA 1999-2338680	19990802 <--
AU 9948939	A	20000228	AU 1999-48939	19990802 <--
AU 749697	B2	20020704		
EP 1102579	A1	20010530	EP 1999-932599	19990802 <--
EP 1102579	B1	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522374	T	20020723	JP 2000-563253	19990802 <--
NZ 509489	A	20021025	NZ 1999-509489	19990802 <--
AT 234604	T	20030415	AT 1999-932599	19990802 <--
PT 1102579	T	20030731	PT 1999-932599	19990802 <--
ES 2193726	T3	20031101	ES 1999-932599	19990802 <--
IN 2001KN00067	A	20050624	IN 2001-KN67	20010116 <--
ZA 2001000569	A	20010730	ZA 2001-569	20010119 <--
NO 2001000531	A	20010131	NO 2001-531	20010131 <--
US 6475467	B1	20021105	US 2001-744798	20010420 <--
PRIORITY APPLN. INFO.:			CH 1998-1633	A 19980804 <--
			WO 1999-CH360	W 19990802 <--

AB Pharmaceutically acceptable solid salts containing cromoglycic acid and/or nedocromil as a vehicle, at concns. which are not therapeutically and prophylactically active, are used in suspension aerosol formulations of pharmaceutical active ingredients in fluoroalkane propellants to improve the dispersion characteristics, increase the phys. and chemical stability of moisture-sensitive active ingredients, allow for accurate dosing of active ingredients even at low dosage, and generally eliminate the need for surface-active agents. Thus, 6 g micronized formoterol fumarate and 12 g micronized di-Na cromoglycate were mixed in an evacuated vessel with fluoroalkane HFA 134a 35, HFA 227 35 kg, and EtOH 3 weight%, and the suspension was homogenized and dispensed into Al vials equipped with dosing valves.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1998:548517 CAPLUS  
 DOCUMENT NUMBER: 129:166237  
 TITLE: Fluorocarbon propellants for medical aerosol formulations  
 INVENTOR(S): Keller, Manfred; Herzog, Kurt  
 PATENT ASSIGNEE(S): Jago Pharma A.-G., Switz.  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834595	A1	19980813	WO 1998-CH37	19980202 <--
W: AU, CA, JP, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2280099	A1	19980813	CA 1998-2280099	19980202 <--
CA 2280099	C	20051227		

AU 9856496	A 19980826	AU 1998-56496	19980202 <--
AU 718967	B2 20000504		
EP 1014943	A1 20000705	EP 1998-900837	19980202 <--
EP 1014943	B1 20020619		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
NZ 337065	A 20010223	NZ 1998-337065	19980202 <--
JP 2001511160	T 20010807	JP 1998-533479	19980202 <--
AT 219355	T 20020715	AT 1998-900837	19980202 <--
PT 1014943	T 20021129	PT 1998-900837	19980202 <--
ES 2178817	T3 20030101	ES 1998-900837	19980202 <--
ZA 9800937	A 19980806	ZA 1998-937	19980205 <--
NO 9903773	A 19991004	NO 1999-3773	19990804 <--
US 6461591	B1 20021008	US 1999-355883	19990804 <--
PRIORITY APPLN. INFO.:		CH 1997-248	A 19970205 <--
		WO 1998-CH37	W 19980202 <--

AB A pressure-liquefied propellant mixture for aerosols comprising a fluoridated alkane [especially 1,1,1,2-tetrafluoroethane and/or 1,1,1,2,3,3,3-heptafluoropropane (HFA 227)] and CO<sub>2</sub> improves the wetting properties for pharmaceutical active substances, whereby existing formulation problems with hydrofluoroalkanes in suspension and solution aerosols can be overcome and improved medical aerosol formulations can be obtained. By using CO<sub>2</sub>, the pressure and hence the particle size distribution can be influenced in a targeted manner, and by removing O<sub>2</sub> from the hydrofluoroalkanes the stability during storage of oxidation-sensitive active substances can be improved. Thus, 1.5 kg HFA 227 was gassed with CO<sub>2</sub> and added at 6.5 bar and 20° to a solution of beclomethasone dipropionate 2.5 and oleic acid 0.25 in EtOH 55 g in a pressurized vessel; the mixture was dispensed into Al aerosol canisters. The mean aerodynamic particle diameter and fine particle dose per stroke of the dosing valve were .apprx.1.3 µm and 61.5 µg, resp., immediately after filling the canisters; after 6 mo storage at 30° and 70% relative humidity, these values were .apprx.1.3 µm and 71.8 µg, resp.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 9 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2000019210 EMBASE

TITLE: Soft drug design: General principles and recent applications.

AUTHOR: Bodor N.; Buchwald P.

CORPORATE SOURCE: N. Bodor, Center for Drug Discovery, University of Florida, Health Science Center, P.O. Box 100497, Gainesville, FL 32610-0497, United States

SOURCE: Medicinal Research Reviews, (2000) Vol. 20, No. 1, pp. 58-101.

Refs: 208

ISSN: 0198-6325 CODEN: MRREDD

COUNTRY: United States

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 037 Drug Literature Index

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 20 Jan 2000

Last Updated on STN: 20 Jan 2000

AB Soft drug design represents a new approach aimed to design safer drugs with an increased therapeutic index by integrating metabolism considerations into the drug design process. Soft drugs are new therapeutic agents that undergo predictable metabolism to inactive metabolites after exerting their therapeutic effect. Hence, they are obtained by building into the molecule, in addition to the activity, the most desired way in which the molecule is to be deactivated and detoxified. In an attempt to systematize and summarize the related work

done in a number of laboratories, including ours, the present review presents an overview of the general soft drug design principles and provides a variety of specific examples to illustrate the concepts. A number of already marketed drugs, such as esmolol, remifentanil, or loteprednol etabonate, resulted from the successful application of such design principles. Many other promising drug candidates are currently under investigation in a variety of fields including possible soft antimicrobials, anticholinergics, corticosteroids,  $\beta$ -blockers, analgetics, ACE inhibitors, antiarrhythmics, and others. Whenever possible, pharmacokinetic and pharmacodynamic properties are briefly summarized and compared to those of other compounds used in the same field.

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